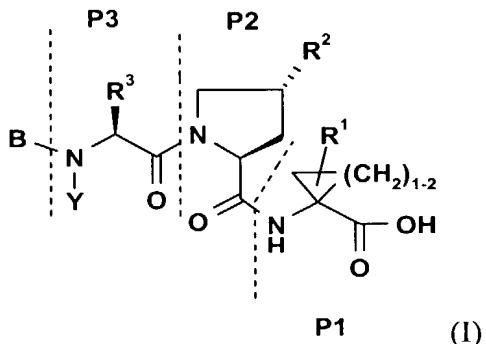


WHAT IS CLAIMED IS:

1. A racemate, diastereoisomer or optical isomer of a compound of formula (I):



wherein **B** is H, a C₆ or C₁₀ aryl, C₇₋₁₆ aralkyl; Het or (lower alkyl)-Het, all of which optionally substituted with C₁₋₆ alkyl; C₁₋₆ alkoxy; C₁₋₆ alkanoyl; hydroxy; hydroxyalkyl; halo; haloalkyl; nitro; cyano; cyanoalkyl; amino optionally substituted with C₁₋₆ alkyl; amido; or (lower alkyl)amide; or **B** is an acyl derivative of formula **R**₄-C(O)-; a carboxyl derivative formula **R**₄-O-C(O)-; an amide derivative of formula **R**₄-N(**R**₅)-C(O)-; a thioamide derivative of formula **R**₄-N(**R**₅)-C(S)-; or a sulfonyl derivative of formula **R**₄-SO₂ wherein

R₄ is (i) C₁₋₁₀ alkyl optionally substituted with carboxyl, C₁₋₆ alkanoyl, hydroxy, C₁₋₆ alkoxy, amino optionally mono- or di-substituted with C₁₋₆ alkyl, amido, or (lower alkyl) amide;

(ii) C₃₋₇ cycloalkyl, C₃₋₇ cycloalkoxy, or C₄₋₁₀ alkylcycloalkyl, all optionally substituted with hydroxy, carboxyl, (C₁₋₆ alkoxy)carbonyl, amino optionally mono- or di-substituted with C₁₋₆ alkyl, amido, or (lower alkyl) amide;

(iii) amino optionally mono- or di-substituted with C₁₋₆ alkyl; amido; or (lower alkyl)amide;

(iv) C₆ or C₁₀ aryl or C₇₋₁₆ aralkyl, all optionally substituted with C₁₋₆ alkyl, hydroxy, amido, (lower alkyl)amide, or amino optionally mono- or di-substituted with C₁₋₆ alkyl; or

(v) Het or (lower alkyl)-Het, both optionally substituted with C₁₋₆ alkyl, hydroxy, amido, (lower alkyl) amide, or amino optionally mono- or di-substituted with C₁₋₆ alkyl;

R₅ is H or C₁₋₆ alkyl;

with the proviso that when **B** is a carboxyl derivative, an amide derivative or a thioamide derivative, **R₄** is not a cycloalkoxy;

Y is H or C₁₋₆ alkyl;

R³ is C₁₋₈ alkyl, C₃₋₇ cycloalkyl, or C₄₋₁₀ alkylcycloalkyl, all optionally substituted with hydroxy, C₁₋₆ alkoxy, C₁₋₆ thioalkyl, amido, (lower alkyl)amido, C₆ or C₁₀ aryl, or C₇₋₁₆ aralkyl;

R² is CH₂-**R₂₀**, NH-**R₂₀**, O-**R₂₀** or S-**R₂₀**, wherein **R₂₀** is a saturated or unsaturated C₃₋₇ cycloalkyl or C₄₋₁₀ (alkylcycloalkyl), all of which being optionally mono-, di- or tri-substituted with **R₂₁**,

or **R₂₀** is a C₆ or C₁₀ aryl or C₇₋₁₄ aralkyl, all optionally mono-, di- or tri-substituted with **R₂₁**,

or **R₂₀** is Het or (lower alkyl)-Het, both optionally mono-, di- or tri-substituted with **R₂₁**,

wherein each **R₂₁** is independently C₁₋₆ alkyl; C₁₋₆ alkoxy; lower thioalkyl; sulfonyl; NO₂; OH; SH; halo; haloalkyl; amino optionally mono- or di-substituted with C₁₋₆ alkyl, C₆ or C₁₀ aryl, C₇₋₁₄ aralkyl, Het or (lower alkyl)-Het; amido optionally mono-substituted with C₁₋₆ alkyl, C₆ or C₁₀ aryl, C₇₋₁₄ aralkyl, Het or (lower alkyl)-Het; carboxyl; carboxy(lower alkyl); C₆ or C₁₀ aryl, C₇₋₁₄ aralkyl or Het, said aryl, aralkyl or Het being optionally substituted with **R₂₂**;

wherein **R₂₂** is C₁₋₆ alkyl; C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; amino optionally mono- or di-substituted with C₁₋₆ alkyl; sulfonyl; (lower alkyl)sulfonyl; NO₂; OH; SH; halo; haloalkyl; carboxyl; amide; (lower alkyl)amide; or Het optionally substituted with C₁₋₆ alkyl;

R¹ is H; C₁₋₆ alkyl, C₃₋₇ cycloalkyl, C₂₋₆ alkenyl, or C₂₋₆ alkynyl, all optionally substituted with halogen;

or a pharmaceutically acceptable salt or ester thereof;

wherein “Het” is defined as a five-, six-, or seven-membered saturated or unsaturated, aromatic or non-aromatic, heterocycle containing from one to four heteroatoms selected from nitrogen, oxygen and sulfur, wherein said heterocycle is optionally fused to a benzene ring.

2. A compound of formula I according to claim 1, wherein
B is a C₆ or C₁₀ aryl or C₇₋₁₆ aralkyl, all optionally substituted with C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ alkanoyl, hydroxy, hydroxyalkyl, halo, haloalkyl, nitro, cyano, cyanoalkyl, amido, (lower alkyl)amido, or amino optionally substituted with C₁₋₆ alkyl; or
B is Het or (lower alkyl)-Het, all optionally substituted with C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ alkanoyl, hydroxy, hydroxyalkyl, halo, haloalkyl, nitro, cyano, cyanoalkyl, amido, (lower alkyl)amido, or amino optionally substituted with C₁₋₆ alkyl.
3. A compound of formula I according to claim 1, wherein **B** is **R**₄-SO₂ wherein **R**₄ is C₁₋₆ alkyl; amido; (lower alkyl)amide; C₆ or C₁₀ aryl, C₇₋₁₄ aralkyl or Het, all optionally substituted with C₁₋₆ alkyl.
4. A compound of formula I according to claim 1, wherein **B** is an acyl derivative of formula **R**₄-C(O)- wherein **R**₄ is
 - (i) C₁₋₁₀ alkyl optionally substituted with carboxyl, hydroxy or C₁₋₆ alkoxy, amido, (lower alkyl)amide, or amino optionally mono- or di-substituted with C₁₋₆ alkyl;
 - (ii) C₃₋₇ cycloalkyl or C₄₋₁₀ alkylcycloalkyl, both optionally substituted with hydroxy, carboxyl, (C₁₋₆ alkoxy)carbonyl, amido, (lower alkyl)amide, or amino optionally mono- or di-substituted with C₁₋₆ alkyl;
 - (iv) C₆ or C₁₀ aryl or C₇₋₁₆ aralkyl, all optionally substituted with C₁₋₆ alkyl, hydroxy, amido, (lower alkyl)amide, or amino optionally substituted with C₁₋₆ alkyl;
 - (v) Het or (lower alkyl)-Het, both optionally substituted with C₁₋₆ alkyl, hydroxy, amino optionally substituted with C₁₋₆ alkyl, amido, (lower alkyl)amide, or amino optionally substituted with C₁₋₆ alkyl.
5. A compound of formula I according to claim 1, wherein **B** is a carboxyl derivative of formula **R**₄-O-C(O)-, wherein **R**₄ is
 - (i) C₁₋₁₀ alkyl optionally substituted with carboxyl, C₁₋₆ alkanoyl, hydroxy, C₁₋₆ alkoxy, amino optionally mono- or di-substituted with C₁₋₆ alkyl, amido or (lower alkyl)amide;
 - (ii) C₃₋₇ cycloalkyl, C₄₋₁₀ alkylcycloalkyl, all optionally substituted with carboxyl, (C₁₋₆ alkoxy)carbonyl, amino optionally mono- or di-substituted

with C₁₋₆ alkyl, amido or (lower alkyl)amide;

(iv) C₆ or C₁₀ aryl or C₇₋₁₆ aralkyl optionally substituted with C₁₋₆ alkyl, hydroxy, amido, (lower alkyl)amido, or amino optionally mono- or di-substituted with C₁₋₆ alkyl; or

(v) Het or (lower alkyl)-Het, both optionally substituted with C₁₋₆ alkyl, hydroxy, amino optionally mono- or di-substituted with C₁₋₆ alkyl, amido or (lower alkyl)amido.

6. A compound of formula I according to claim 1, wherein **B** is an amide derivative of formula **R₄-N(R₅)-C(O)-** wherein **R₄** is

(i) C₁₋₁₀ alkyl optionally substituted with carboxyl, C₁₋₆ alkanoyl, hydroxy, C₁₋₆ alkoxy, amido, (lower alkyl)amido, or amino optionally mono- or di-substituted with C₁₋₆ alkyl;

(ii) C₃₋₇ cycloalkyl or C₄₋₁₀ alkylcycloalkyl, all optionally substituted with carboxyl, (C₁₋₆ alkoxy)carbonyl, amido, (lower alkyl)amido, or amino optionally mono- or di-substituted with C₁₋₆ alkyl;

(iii) amino optionally mono- or di-substituted with C₁₋₃ alkyl;

(iv) C₆ or C₁₀ aryl or C₇₋₁₆ aralkyl, all optionally substituted with C₁₋₆ alkyl, hydroxy, amido, (lower alkyl)amide, or amino optionally substituted with C₁₋₆ alkyl; or

(v) Het or (lower alkyl)-Het, both optionally substituted with C₁₋₆ alkyl, hydroxy, amino optionally substituted with C₁₋₆ alkyl, amido or (lower alkyl)amide; and

R₅ is H or methyl.

7. A compound of formula I according to claim 1, wherein **B** is a thioamide derivative of formula **R₄-NH-C(S)-**; wherein **R₄** is

(i) C₁₋₁₀ alkyl optionally substituted with carboxyl, C₁₋₆ alkanoyl or C₁₋₆ alkoxy;

(ii) C₃₋₇ cycloalkyl or C₄₋₁₀ alkylcycloalkyl, all optionally substituted with carboxyl, (C₁₋₆ alkoxy)carbonyl, amino or amido.

8. A compound of formula I according to claim 2, wherein **B** is a C₆ or C₁₀ aryl optionally substituted with C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ alkanoyl, hydroxy, hydroxyalkyl, halo, haloalkyl, nitro, cyano, cyanoalkyl, amido, (lower alkyl)amide,

or amino optionally mono- or di-substituted with C₁₋₆ alkyl.

9. A compound of formula I according to claim 2, wherein **B** is Het optionally substituted with C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ alkanoyl, hydroxy, halo, amido, (lower alkyl)amide, or amino optionally mono- or di-substituted with C₁₋₆ alkyl.

10. A compound of formula I according to claim 4, wherein **B** is an acyl derivative of formula **R**₄-C(O)- wherein **R**₄ is

- (i) C₁₋₁₀ alkyl optionally substituted with carboxyl, hydroxy or C₁₋₆ alkoxy; or
- (ii) C₃₋₇ cycloalkyl or C₄₋₁₀ alkylcycloalkyl, both optionally substituted with hydroxy, carboxyl, (C₁₋₆ alkoxy)carbonyl, or
- (iv) C₆ or C₁₀ aryl or C₇₋₁₆ aralkyl, all optionally substituted with C₁₋₆ alkyl, hydroxy, or (v) Het optionally substituted with C₁₋₆ alkyl, hydroxy, amido or amino.

11. A compound of formula I according to claim 5, wherein **B** is a carboxyl derivative of formula **R**₄-O-C(O)-, wherein **R**₄ is

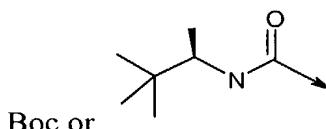
- (i) C₁₋₁₀ alkyl optionally substituted with carboxyl, C₁₋₆ alkanoyl, hydroxy, C₁₋₆ alkoxy or amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C₁₋₆ alkyl;
- (ii) C₃₋₇ cycloalkyl, C₄₋₁₀ alkylcycloalkyl, all optionally substituted with carboxyl, (C₁₋₆ alkoxy)carbonyl, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C₁₋₆ alkyl, or
- (iv) C₆ or C₁₀ aryl or C₇₋₁₆ aralkyl, all optionally substituted with C₁₋₆ alkyl, hydroxy, amino optionally substituted with C₁₋₆ alkyl; or
- (v) Het or (lower alkyl)-Het, both optionally substituted with C₁₋₆ alkyl, hydroxy, amido, or amino optionally mono-substituted with C₁₋₆ alkyl.

12. A compound of formula I according to claim 6, wherein **B** is an amide derivative of formula **R**₄-N(**R**₅)-C(O)- wherein **R**₄ is

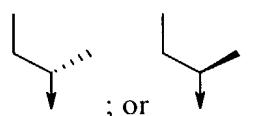
- (i) C₁₋₁₀ alkyl optionally substituted with carboxyl, C₁₋₆ alkanoyl, hydroxy, C₁₋₆ alkoxy, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C₁₋₆ alkyl;
- (ii) C₃₋₇ cycloalkyl or C₄₋₁₀ alkylcycloalkyl, all optionally substituted with carboxyl, (C₁₋₆ alkoxy)carbonyl, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C₁₋₆ alkyl;

(iii) amino optionally mono- or di-substituted with C₁₋₃ alkyl, or
 (iv) C₆ or C₁₀ aryl or C₇₋₁₆ aralkyl, all optionally substituted with C₁₋₆ alkyl,
 hydroxy, amino or amido optionally substituted with C₁₋₆ alkyl; or
 (v) Het optionally substituted with C₁₋₆ alkyl, hydroxy, amino or amido,
 and R₅ is H.

13. A compound of formula I according to claim 7, wherein **B** is a thioamide derivative of formula R₄-NH-C(S)-; wherein R₄ is (i) C₁₋₁₀ alkyl; or (ii) C₃₋₇ cycloalkyl.
14. A compound of formula I according to claim 12, wherein **B** is an amide derivative of formula R₄-NH-C(O)- wherein R₄ is
 - (i) C₁₋₁₀ alkyl optionally substituted with carboxyl, C₁₋₆ alkanoyl, hydroxy, C₁₋₆ alkoxy amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C₁₋₆ alkyl;
 - (ii) C₃₋₇ cycloalkyl or C₄₋₁₀ alkylcycloalkyl, all optionally substituted with carboxyl, (C₁₋₆ alkoxy)carbonyl, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C₁₋₆ alkyl;
 - (iv) C₆ or C₁₀ aryl or C₇₋₁₆ aralkyl optionally substituted with C₁₋₆ alkyl, hydroxy, amino or amido.
15. A compound of formula I according to claim 1, wherein **B** is



16. A compound of formula I according to claim 1, wherein **Y** is H or methyl.
17. A compound of formula I according to claim 16, wherein **Y** is H.
18. A compound of formula I according to claim 1, wherein R³ is C₁₋₈ alkyl, C₃₋₇ cycloalkyl, or C₄₋₁₀ alkylcycloalkyl, all optionally substituted with hydroxy, C₁₋₆ alkoxy, C₁₋₆ thioalkyl, acetamido, C₆ or C₁₀ aryl, or C₇₋₁₆ aralkyl,.
19. A compound of formula I according to claim 18, wherein R³ is the side chain of Tbg, Ile, Val, Chg or:



20. A compound of formula I according to claim 19, wherein R³ is the side chain of

Tbg, Chg or Val.

21. A compound of formula I according to claim 1, wherein \mathbf{R}^2 is S- \mathbf{R}_{20} or O- \mathbf{R}_{20} wherein \mathbf{R}_{20} is a C₆ or C₁₀ aryl, C₇₋₁₆ aralkyl, Het or -CH₂-Het, all optionally mono-, di- or tri-substituted with \mathbf{R}_{21} , wherein

\mathbf{R}_{21} is C₁₋₆ alkyl; C₁₋₆ alkoxy; lower thioalkyl; amino or amido optionally mono- or di-substituted with C₁₋₆ alkyl, C₆ or C₁₀ aryl, C₇₋₁₆ aralkyl, Het or (lower alkyl)-Het; NO₂; OH; halo; trifluoromethyl; carboxyl; C₆ or C₁₀ aryl, C₇₋₁₆ aralkyl, or Het, said aryl, aralkyl or Het being optionally substituted with \mathbf{R}_{22} , wherein

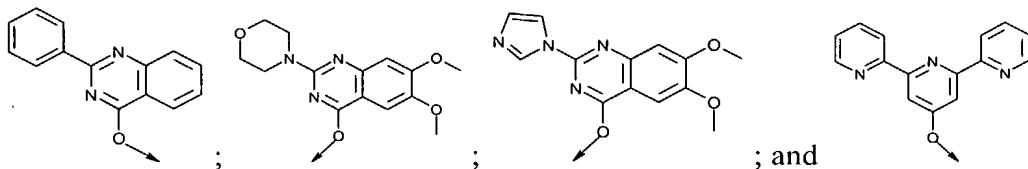
\mathbf{R}_{22} is C₁₋₆ alkyl; C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; amino; mono- or di-(lower alkyl)amino; (lower alkyl)amide; sulfonylalkyl; NO₂; OH; halo; trifluoromethyl; carboxyl or Het.

22. A compound of formula I according to claim 21, wherein \mathbf{R}_{21} is C₁₋₆ alkyl; C₁₋₆ alkoxy; amino; di(lower alkyl)amino; (lower alkyl)amide; C₆ or C₁₀ aryl, or Het, said aryl or Het being optionally substituted with \mathbf{R}_{22} , wherein \mathbf{R}_{22} is C₁₋₆ alkyl; C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; amino; mono- or di(lower alkyl)amino; amido; (lower alkyl)amide; halo; trifluoromethyl or Het.

23. A compound of formula I according to claim 22, wherein \mathbf{R}_{22} is C₁₋₆ alkyl; C₁₋₆ alkoxy; halo; amino optionally mono- or di-substituted with lower alkyl; amido; (lower alkyl)amide; or Het.

24. A compound of formula I according to claim 23, wherein \mathbf{R}_{22} is methyl; ethyl; isopropyl; tert-butyl; methoxy; chloro; amino optionally mono- or di-substituted with lower alkyl; amido, (lower alkyl)amide; or (lower alkyl) 2-thiazole.

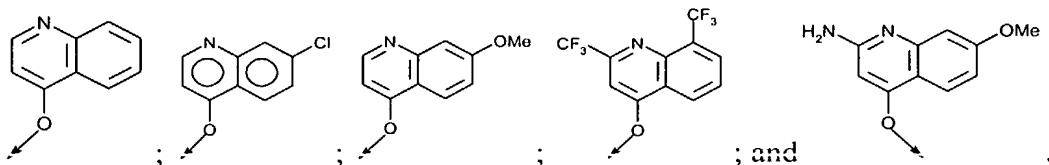
25. A compound of formula I according to claim 21, wherein \mathbf{R}^2 is selected from the group consisting of:



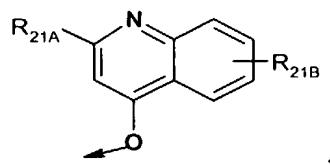
26. A compound of formula I according to claim 21, wherein \mathbf{R}^2 is 1-naphthylmethoxy; 2-naphthylmethoxy; benzyl; 1-naphthyl; 2-naphthyl; or quinolinoxy unsubstituted, mono- or di-substituted with \mathbf{R}_{21} as defined in claim 21.

27. A compound of formula I according to claim 26, wherein \mathbf{R}^2 is 1-naphthylmethoxy; or quinolinoxy unsubstituted, mono- or di-substituted with \mathbf{R}_{21} as defined in claim 26.

28. A compound of formula I according to claim 27, wherein \mathbf{R}^2 is selected from the group consisting of:



29. A compound of formula I according to claim 26, wherein \mathbf{R}^2 is :

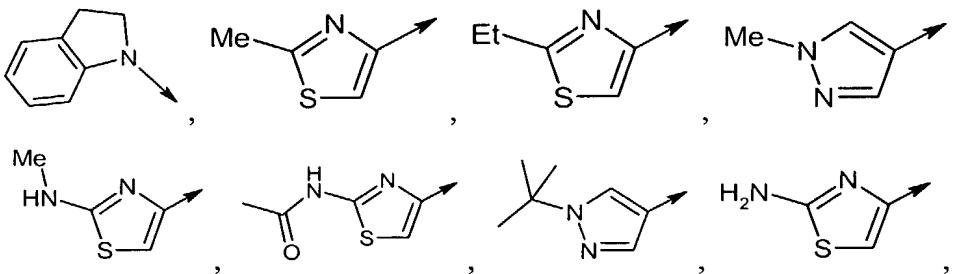


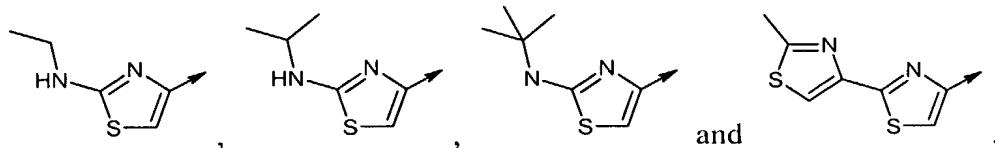
wherein \mathbf{R}_{21A} is C_{1-6} alkyl; C_{1-6} alkoxy; lower thioalkyl; halo; amino optionally mono-substituted with C_{1-6} alkyl; or C_6 , C_{10} aryl, C_{7-16} aralkyl, or Het, said aryl, aralkyl or Het optionally substituted with \mathbf{R}_{22} wherein \mathbf{R}_{22} is C_{1-6} alkyl, C_{1-6} alkoxy, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C_{1-6} alkyl, or Het; and

\mathbf{R}_{21B} is C_{1-6} alkyl, C_{1-6} alkoxy, amino, di(lower alkyl)amino, (lower alkyl)amide, NO_2 , OH , halo, trifluoromethyl, or carboxyl.

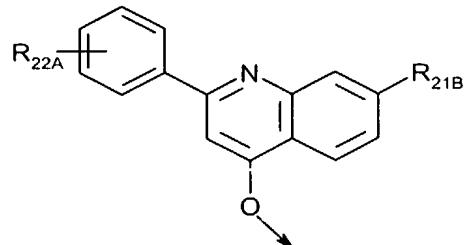
30. A compound of formula I according to claim 29, wherein \mathbf{R}_{21A} is C_6 , C_{10} aryl or Het, all optionally substituted with \mathbf{R}_{22} as defined in claim 29.

31. A compound of formula I according to claim 30, wherein \mathbf{R}_{21A} is selected from the group consisting of:



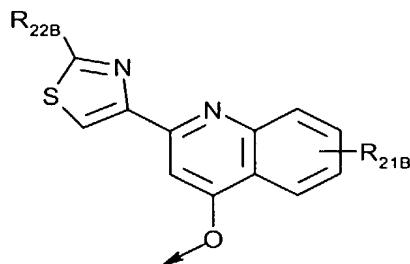


32. A compound of formula I according to claim 21, wherein \mathbf{R}^2 is:



wherein \mathbf{R}_{22A} is C₁₋₆ alkyl; C₁₋₆ alkoxy; or halo; and \mathbf{R}_{21B} is C₁₋₆ alkyl, C₁₋₆ alkoxy, amino, di(lower alkyl)amino, (lower alkyl)amide, NO₂, OH, halo, trifluoromethyl, or carboxyl.

33. A compound of formula I according to claim 29, wherein \mathbf{R}^2 is:

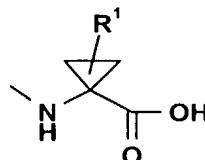


wherein \mathbf{R}_{22B} is C₁₋₆ alkyl, amino optionally mono-substituted with C₁₋₆ alkyl, amido, or (lower alkyl)amide; ; and \mathbf{R}_{21B} is C₁₋₆ alkyl, C₁₋₆ alkoxy, amino, di(lower alkyl)amino, (lower alkyl)amide, NO₂, OH, halo, trifluoromethyl, or carboxyl.

34. A compound of formula I according to claim 32 or 33, wherein \mathbf{R}_{21B} is C₁₋₆ alkoxy, or di(lower alkyl)amino.

35. A compound of formula I according to claim 32 or 33, wherein \mathbf{R}_{21B} is methoxy.

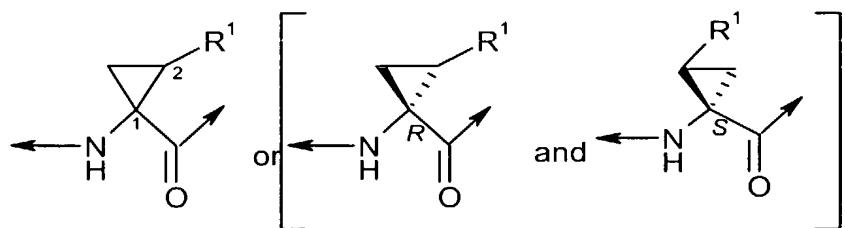
36. A compound of formula I according to claim 1, wherein \mathbf{R}^1 is H, C₁₋₃ alkyl, C₃₋₅ cycloalkyl, or C₂₋₄ alkenyl, all optionally substituted with halo.



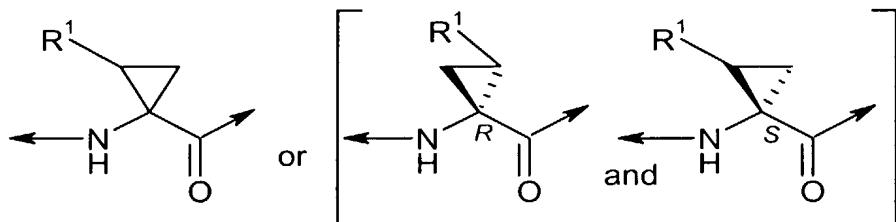
37. A compound of formula I according to claim 36, wherein **P1** is and **R¹** is ethyl, vinyl, cyclopropyl, 1 or 2-bromoethyl or 1 or 2-bromovinyl.

38. A compound of formula I according to claim 37, wherein **R¹** is vinyl.

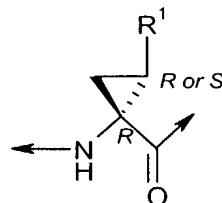
39. A compound of formula I according to claim 37, wherein **R¹** at carbon 2 is orientated *syn* to the carbonyl at position 1, represented by the radical:



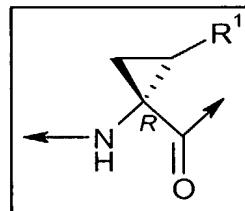
40. A compound of formula I according to claim 37, wherein **R¹** at position 2 is orientated *anti* to the carbonyl at position 1, represented by the radical:



41. A compound of formula I according to claim 37, wherein carbon 1 has the **R** configuration:



42. An optical isomer of a compound of formula I according to claim 41, wherein said **R¹** substituent and the carbonyl in a *syn* orientation in the following absolute configuration:



43. A compound of formula I according to claim 42, wherein \mathbf{R}^1 is ethyl, hence the asymmetric carbon atoms at positions 1 and 2 have the *R,R* configuration.

44. A compound of formula I according to claim 42, wherein \mathbf{R}^1 is vinyl, hence the asymmetric carbon atoms at positions 1 and 2 have the *R,S* configuration.

45. A compound of formula I according to claim 1, wherein

B is a C_6 or C_{10} aryl or C_{7-16} aralkyl, all optionally substituted with C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkanoyl, hydroxy, hydroxyalkyl, halo, haloalkyl, nitro, cyano, cyanoalkyl, amido, (lower alkyl)amido, or amino optionally substituted with C_{1-6} alkyl; or

Het or (lower alkyl)-Het, all optionally substituted with C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkanoyl, hydroxy, hydroxyalkyl, halo, haloalkyl, nitro, cyano, cyanoalkyl, amido, (lower alkyl)amido, or amino optionally substituted with C_{1-6} alkyl, or

B is $\mathbf{R}_4\text{-SO}_2$ wherein \mathbf{R}_4 is preferably amido; (lower alkyl)amide; C_6 or C_{10} aryl, C_{7-14} aralkyl or Het, all optionally substituted with C_{1-6} alkyl, or

B is an acyl derivative of formula $\mathbf{R}_4\text{-C(O)-}$ wherein \mathbf{R}_4 is

- (i) C_{1-10} alkyl optionally substituted with carboxyl, hydroxy or C_{1-6} alkoxy, amido, (lower alkyl)amide, or amino optionally mono- or di-substituted with C_{1-6} alkyl;
- (ii) C_{3-7} cycloalkyl or C_{4-10} alkylcycloalkyl, both optionally substituted with hydroxy, carboxyl, (C_{1-6} alkoxy)carbonyl, amido, (lower alkyl)amide, or amino optionally mono- or di-substituted with C_{1-6} alkyl;
- (iv) C_6 or C_{10} aryl or C_{7-16} aralkyl, all optionally substituted with C_{1-6} alkyl, hydroxy, amido, (lower alkyl)amide, or amino optionally substituted with C_{1-6} alkyl;
- (v) Het or (lower alkyl)-Het, both optionally substituted with C_{1-6} alkyl, hydroxy, amino optionally substituted with C_{1-6} alkyl, amido, (lower alkyl)amide, or amino optionally substituted with C_{1-6} alkyl, or

B is a carboxyl derivative of formula $\mathbf{R}_4\text{-O-C(O)-}$, wherein \mathbf{R}_4 is

- (i) C_{1-10} alkyl optionally substituted with carboxyl, C_{1-6} alkanoyl, hydroxy, C_{1-6} alkoxy, amino optionally mono- or di-substituted with C_{1-6} alkyl, amido or (lower alkyl)amide;
- (ii) C_{3-7} cycloalkyl, C_{4-10} alkylcycloalkyl, all optionally substituted with carboxyl, (C_{1-6} alkoxy)carbonyl, amino optionally mono- or di-substituted with C_{1-6} alkyl, amido or (lower alkyl)amide;
- (iv) C_6 or C_{10} aryl or C_{7-16} aralkyl optionally substituted with C_{1-6} alkyl, hydroxy, amido, (lower alkyl)amido, or amino optionally mono- or di-substituted with C_{1-6} alkyl; or
- (v) Het or (lower alkyl)-Het, both optionally substituted with C_{1-6} alkyl, hydroxy, amino optionally mono- or di-substituted with C_{1-6} alkyl, amido or (lower alkyl)amido, or

B is an amide derivative of formula $\mathbf{R}_4\text{-N}(\mathbf{R}_5)\text{-C(O)-}$ wherein \mathbf{R}_4 is

- (i) C_{1-10} alkyl optionally substituted with carboxyl, C_{1-6} alkanoyl, hydroxy, C_{1-6} alkoxy, amido, (lower alkyl)amido, or amino optionally mono- or di-substituted with C_{1-6} alkyl;
- (ii) C_{3-7} cycloalkyl or C_{4-10} alkylcycloalkyl, all optionally substituted with carboxyl, (C_{1-6} alkoxy)carbonyl, amido, (lower alkyl)amido, or amino optionally mono- or di-substituted with C_{1-6} alkyl;
- (iii) amino optionally mono- or di-substituted with C_{1-3} alkyl;
- (iv) C_6 or C_{10} aryl or C_{7-16} aralkyl, all optionally substituted with C_{1-6} alkyl, hydroxy, amido, (lower alkyl)amide, or amino optionally substituted with C_{1-6} alkyl; or
- (v) Het or (lower alkyl)-Het, both optionally substituted with C_{1-6} alkyl, hydroxy, amino optionally substituted with C_{1-6} alkyl, amido or (lower alkyl)amide; and

\mathbf{R}_5 is H or methyl, or

B is thioamide derivative of formula $\mathbf{R}_4\text{-NH-C(S)-}$; wherein \mathbf{R}_4 is

- (i) C_{1-10} alkyl optionally substituted with carboxyl, C_{1-6} alkanoyl or C_{1-6} alkoxy;
- (ii) C_{3-7} cycloalkyl or C_{4-10} alkylcycloalkyl, all optionally substituted with

carboxyl, (C₁₋₆ alkoxy)carbonyl, amino or amido;

Y is H or methyl;

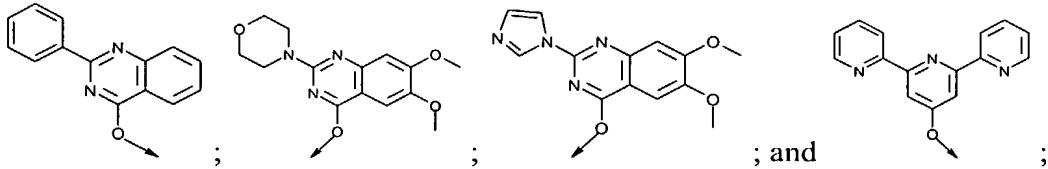
R³ is C₁₋₈ alkyl, C₃₋₇ cycloalkyl, or C₄₋₁₀ alkylcycloalkyl, all optionally substituted with hydroxy, C₁₋₆ alkoxy, C₁₋₆ thioalkyl, acetamido, C₆ or C₁₀ aryl, or C₇₋₁₆ aralkyl;

R² is S-**R**₂₀ or O-**R**₂₀ wherein **R**₂₀ is a C₆ or C₁₀ aryl, C₇₋₁₆ aralkyl, Het or -CH₂-Het, all optionally mono-, di- or tri-substituted with **R**₂₁, wherein

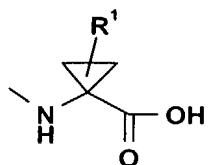
R₂₁ is C₁₋₆ alkyl; C₁₋₆ alkoxy; lower thioalkyl; amino or amido optionally mono- or di-substituted with C₁₋₆ alkyl, C₆ or C₁₀ aryl, C₇₋₁₆ aralkyl, Het or (lower alkyl)-Het; NO₂; OH; halo; trifluoromethyl; carboxyl; C₆ or C₁₀ aryl, C₇₋₁₆ aralkyl, or Het, said aryl, aralkyl or Het being optionally substituted with **R**₂₂, wherein

R₂₂ is C₁₋₆ alkyl; C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; amino; mono- or di-(lower alkyl)amino; (lower alkyl)amide; sulfonylalkyl; NO₂; OH; halo; trifluoromethyl; carboxyl or Het; or

R² is selected from the group consisting of:

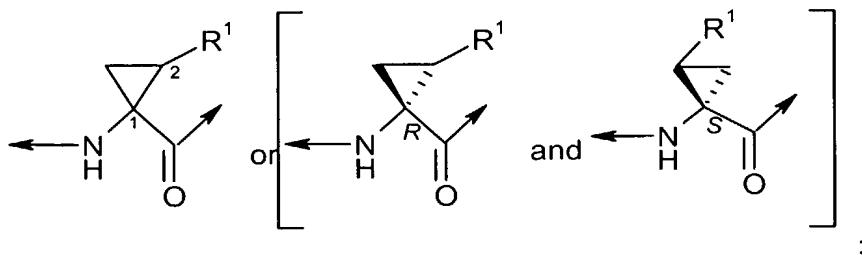


or **R**² is 1-naphthylmethoxy; 2-naphthylmethoxy; benzyloxy, 1-naphthoxy; 2-naphthoxy; or quinolinoxy unsubstituted, mono- or di-substituted with **R**₂₁ as defined above; and



P1 is:

wherein **R**¹ is H, C₁₋₃ alkyl, C₃₋₅ cycloalkyl, or C₂₋₄ alkenyl optionally substituted with halo, and said **R**¹ at carbon 2 is orientated *syn* to the carbonyl at position 1, represented by the radical:



or a pharmaceutically acceptable salt or ester thereof.

46. A compound of formula I according to claim 45, wherein **B** is a C₆ or C₁₀ aryl optionally substituted with C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ alkanoyl, hydroxy, hydroxyalkyl, halo, haloalkyl, nitro, cyano, cyanoalkyl, amido, (lower alkyl)amide, or amino optionally mono- or di-substituted with C₁₋₆ alkyl; or **B** is Het optionally substituted with C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ alkanoyl, hydroxy, halo, amido, (lower alkyl)amide, or amino optionally mono- or di-substituted with C₁₋₆ alkyl; or **B** is R₄-SO₂ wherein R₄ is C₆ or C₁₀ aryl, a C₇₋₁₄ aralkyl or Het all optionally substituted with C₁₋₆ alkyl; amido, (lower alkyl)amide; **B** is an acyl derivative of formula R₄-C(O)- wherein R₄ is

- (i) C₁₋₁₀ alkyl optionally substituted with carboxyl, hydroxy or C₁₋₆ alkoxy; or
- (ii) C₃₋₇ cycloalkyl or C₄₋₁₀ alkylcycloalkyl, both optionally substituted with hydroxy, carboxyl, (C₁₋₆ alkoxy)carbonyl; or
- (iv) C₆ or C₁₀ aryl or C₇₋₁₆ aralkyl, all optionally substituted with C₁₋₆ alkyl, hydroxy; or
- (v) Het optionally substituted with C₁₋₆ alkyl, hydroxy, amido or amino;

or **B** is a carboxyl derivative of formula R₄-O-C(O)-, wherein R₄ is

- (i) C₁₋₁₀ alkyl optionally substituted with carboxyl, C₁₋₆ alkanoyl, hydroxy, C₁₋₆ alkoxy or amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C₁₋₆ alkyl;
- (ii) C₃₋₇ cycloalkyl, C₄₋₁₀ alkylcycloalkyl, all optionally substituted with carboxyl, (C₁₋₆ alkoxy)carbonyl, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C₁₋₆ alkyl; or
- (iv) C₆ or C₁₀ aryl or C₇₋₁₆ aralkyl, all optionally substituted with C₁₋₆ alkyl, hydroxy, amino optionally substituted with C₁₋₆ alkyl; or
- (v) Het or (lower alkyl)-Het, both optionally substituted with C₁₋₆ alkyl,

hydroxy, amido, or amino optionally mono-substituted with C₁₋₆ alkyl; or **B** is an amide derivative of formula **R**₄-N(**R**₅)-C(O)- wherein **R**₄ is

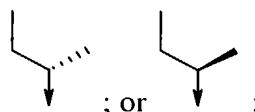
- (i) C₁₋₁₀ alkyl optionally substituted with carboxyl, C₁₋₆ alkanoyl, hydroxy, C₁₋₆ alkoxy, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C₁₋₆ alkyl;
- (ii) C₃₋₇ cycloalkyl or C₄₋₁₀ alkylcycloalkyl, all optionally substituted with carboxyl, (C₁₋₆ alkoxy)carbonyl, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C₁₋₆ alkyl; and **R**₅ is H or methyl; or
- R**₄ is (iii) amino optionally mono- or di-substituted with C₁₋₃ alkyl; or
- (iv) C₆ or C₁₀ aryl or C₇₋₁₆ aralkyl, all optionally substituted with C₁₋₆ alkyl, hydroxy, amino or amido optionally substituted with C₁₋₆ alkyl; or
- (v) Het optionally substituted with C₁₋₆ alkyl, hydroxy, amino or amido; or

B is a thioamide derivative of formula **R**₄-NH-C(S)-; wherein **R**₄ is:

- (i) C₁₋₁₀ alkyl; or (ii) C₃₋₇ cycloalkyl; or

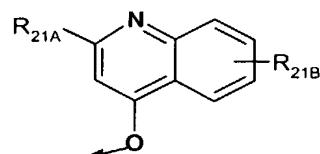
Y is H;

R³ is the side chain of Tbg, Ile, Val, Chg or:



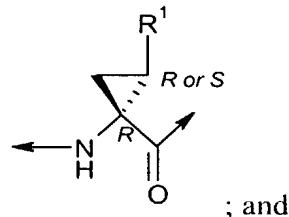
R₂ is 1-naphthylmethoxy; or quinolinoxy unsubstituted, mono- or di-substituted with **R**₂₁ as defined above, or

R₂ is :



wherein **R**_{21A} is C₁₋₆ alkyl; C₁₋₆ alkoxy; C₆, C₁₀ aryl or Het; lower thioalkyl; halo; amino optionally mono-substituted with C₁₋₆ alkyl; or C₆, C₁₀ aryl, C₇₋₁₆ aralkyl or Het, optionally substituted with **R**₂₂ wherein **R**₂₂ is C₁₋₆ alkyl, C₁₋₆ alkoxy, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C₁₋₆ alkyl, or Het; and **R**_{21B} is C₁₋₆ alkyl, C₁₋₆ alkoxy, amino, di(lower alkyl)amino, (lower alkyl)amide, NO₂, OH, halo, trifluoromethyl, or carboxyl;

P1 is:



R¹ is ethyl, vinyl, cyclopropyl, 1 or 2-bromoethyl or 1 or 2-bromovinyl.

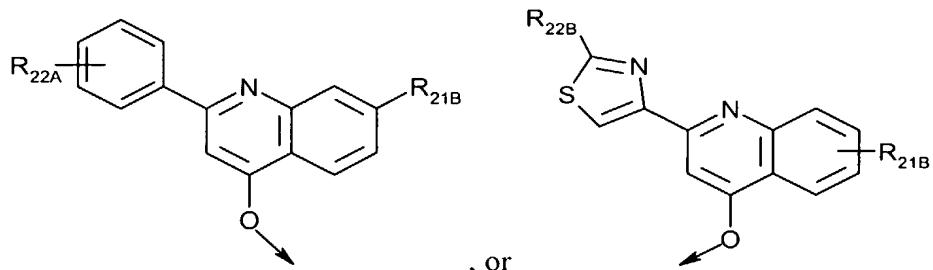
47. A compound of formula I according to claim 46, wherein

B is an amide derivative of formula **R₄-NH-C(O)-** wherein **R₄** is

- i) C₁₋₁₀ alkyl optionally substituted with carboxyl, C₁₋₆ alkanoyl, hydroxy, C₁₋₆ alkoxy amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C₁₋₆ alkyl;
- ii) C₃₋₇ cycloalkyl or C₄₋₁₀ alkylcycloalkyl, all optionally substituted with carboxyl, (C₁₋₆ alkoxy)carbonyl, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C₁₋₆ alkyl;
- iv) C₆ or C₁₀ aryl or C₇₋₁₆ aralkyl optionally substituted with C₁₋₆ alkyl, hydroxy, amino or amido;

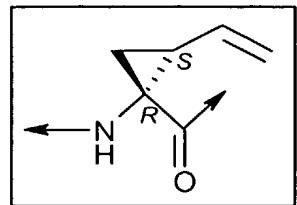
R³ is the side chain of Tbg, Chg or Val;

R² is:

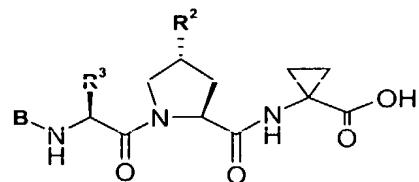


wherein **R_{22A}** is C₁₋₆ alkyl; C₁₋₆ alkoxy; or halo; **R_{22B}** is C₁₋₆ alkyl, amino optionally mono-substituted with C₁₋₆ alkyl, amido, or (lower alkyl)amide; and **R_{21B}** is C₁₋₆ alkyl, C₁₋₆ alkoxy, amino, di(lower alkyl)amino, (lower alkyl)amide, NO₂, OH, halo, trifluoromethyl, or carboxyl;

and **P1** is:



48. A compound according to claim 45 represented by the formula:



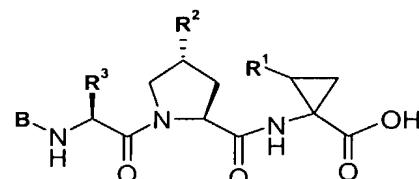
wherein **B**, **R₃**, **R₂** are as defined below:

Tab 1 Cpd#	B	R ³	R ²
101	Boc	cHex	-O-CH ₂ -1-naphthyl ;
102		cHex	-O-CH ₂ -1-naphthyl ;
103		cHex	-O-CH ₂ -1-naphthyl ;
104		cHex	-O-CH ₂ -1-naphthyl ;
105		cHex	-O-CH ₂ -1-naphthyl ;
106	Boc	cHex	
107		cHex	-O-CH ₂ -1-naphthyl ;

Tab 1 Cpd# 108	B	R ³	R ²
	Boc	iPr	
109	acetyl	cHex	
110	Boc	i-Pr	
and 111	Boc	t-Bu	

49. Compound # 111 according to claim 48.

50. A compound according to claim 45 represented by the formula:

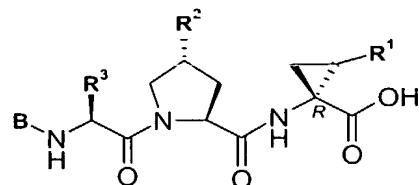


wherein B, R³, R², R¹ are as defined below:

Table 2 Cpd #	B	R ³	R ²	R ¹
201	Boc	cyclohexyl	-O-CH ₂ -1-naphthyl	anti to carboxy ethyl (one isomer)
202	Boc	cyclohexyl	-O-CH ₂ -1-naphthyl	ethyl (other isomer)

Table 2 Cpd # and 203	B	R ³	R ²	R ¹ anti to carboxy
	Boc	t-Bu		vinyl 1R, 2R

51. Compound #203 according to claim 49.
 52. A compound according to claim 45 represented by the formula:



wherein B, R³, R² and R¹ are as defined below:

Table 3 Cpd #	B	R ³	R ²	R ¹ syn to carbox
301	Boc	cHex	-O-CH ₂ -1-naphthyl	ethyl
302		iPr	-O-CH ₂ -1-naphthyl	ethyl
303		cHex	-O-CH ₂ -1-naphthyl	ethyl
304	Boc	cHex		ethyl
305	Boc	cHex	-O-CH ₂ -1-naphthyl	vinyl
306	Boc	cHex		vinyl
307	Boc	cHex		vinyl

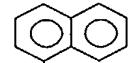
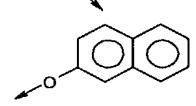
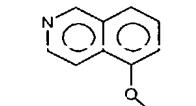
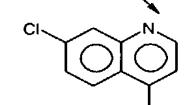
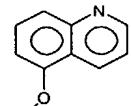
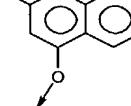
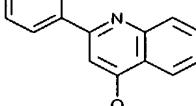
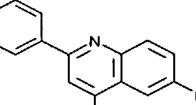
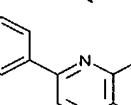
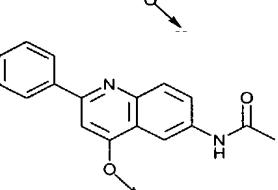
Table 3 Cpd #	B	R ³	R ²	R ¹ <i>syn to</i> carbox yl vinyl	
308	Boc	cHex		vinyl	;
309	Boc	cHex		vinyl	;
310	Boc	cHex		vinyl	;
311	Boc	cHex		vinyl	;
312	Boc	cHex		vinyl	;
313	Boc	cHex		vinyl	;
314	Boc	cHex		vinyl	;
315	Boc	cHex		vinyl	;
316	Acetyl	cHex		vinyl	;
317	Boc	cHex		vinyl	;

Table 3 Cpd #	B	R ³	R ²	R ¹ <i>syn</i> to carbox yl vinyl
318	CF ₃ -C(O)-	<i>i</i> -Pr		;
319		cHex		vinyl
320		cHex		vinyl
321	Boc	<i>t</i> -Bu		vinyl
322	Boc	<i>t</i> -Bu		vinyl
323	Boc	<i>t</i> -Bu		;
324	Boc	<i>t</i> -Bu		vinyl
325	Boc	<i>t</i> -Bu		;

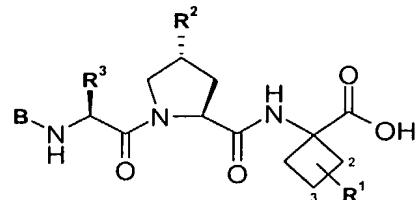
Table 3
Cpd #

Table 3 Cpd #	B	R ³	R ²	R ¹ syn to carbox yl vinyl
326	Boc	t-Bu		;
327		t-Bu		vinyl
328	Boc	t-Bu		vinyl
329	Boc	t-Bu		vinyl
330	Boc	t-Bu		vinyl
331		t-Bu		vinyl
332	Boc	t-Bu		ethyl
333		t-Bu		vinyl

Table 3 Cpd #	B	R ³	R ²	R ¹ <i>syn</i> to carbox yl vinyl
and 334		<i>t</i> -Bu		

53. A compound according to claim 52, selected from the group consisting of compound #: 307, 314, 317, 319, 321, 324, 325, 326, 327, 329, 331, 332, 333, and 334.

54. A compound according to claim 45 represented by the formula:

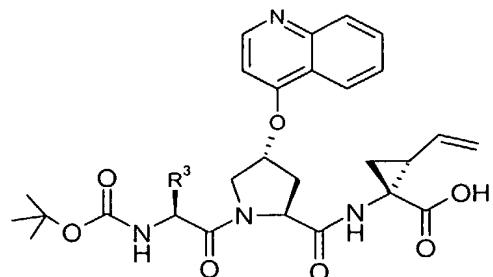


wherein **B**, **R**³, **R**² and **R**¹ are as defined below:

Table 4 Cpd #	B	R ³	R ²	R ¹
401	Boc	<i>i</i> -Pr		H ;
402	Boc	<i>t</i> -Bu		H ;
403	Boc	<i>t</i> -Bu		H ;
404	Boc	<i>t</i> -Bu		3-(=CH ₂) ;
405	Boc	<i>t</i> -Bu		2-vinyl ;
and 406	Boc	<i>t</i> -Bu		2-Et .

55. A compound according to claim 54, selected from the group consisting of compound #: 403, 405, and 406.

56. A compound according to claim 45 represented by the formula:

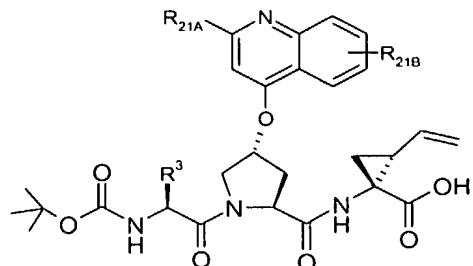


wherein R³ is as defined below:

Table 5 Cpd #	R ³	Table 5 Cpd #	R ³
501	t-Bu	507	
502	H	508	
503		509	
504		510	
505		and 511	
506			

57. A compound according to claim 56, selected from the group consisting of compound #: 501, 509, and 510.

58. A compound according to claim 46 represented by the formula:



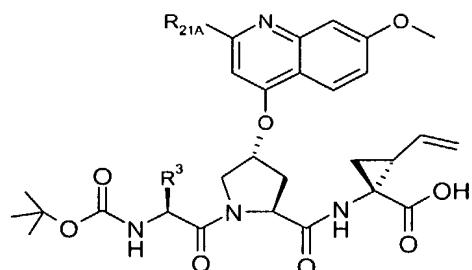
wherein R^3 , R_{21A} and R_{21B} are as defined below:

Table 6 Cpd #	R^3	R_{21A}	R_{21B}
601	<i>i</i> -Pr	Ph	7-OMe ;
602	<i>t</i> -Bu	Ph	8-OMe, 7-OMe ;
603	<i>i</i> -Pr	Ph	7-ethyl ;
604	<i>t</i> -Bu	--	7-OMe ;
605	<i>t</i> -Bu	Ph	7-O- <i>i</i> Pr ;
606	<i>t</i> -Bu	--	7-Cl ;
607	<i>i</i> Pr	--	7-Cl ;
608	CH ₂ - <i>i</i> Pr	--	7-Cl ;
609	<i>t</i> -Bu		-- ;
610	<i>t</i> -Bu	Cl	-- ;
611	<i>t</i> -Bu	Ph	7- N(Me) ₂ ;
612	<i>t</i> -Bu		-- ;
613	<i>t</i> -Bu		-- ;
614	<i>t</i> -Bu		-- ;
615	<i>t</i> -Bu	--	7- N(Me) ₂ ;
616	<i>t</i> -Bu		-- ;

Table 6 Cpd # 617	R ³	R _{21A}	R _{21B}
618	t-Bu		-- ;
619	t-Bu		-- ;
620	t-Bu		-- ;
621	t-Bu		-- ;
622	t-Bu		-- ;
623	t-Bu	MeO-	-- ;
624	t-Bu	(Me) ₂ N-	-- ;
625	t-Bu	Ph	7-S(Me) ;
626	t-Bu	Ph	7-Br ;
627	t-Bu	Ph	7-F ;
628	t-Bu		7- N(Me) ₂ ;
629	t-Bu		7- N(Me) ₂ ;
and 630	t-Bu		7-N(Et) ₂ .

59. A compound according to claim 58, selected from the group consisting of compound #: 601, 602, 603, 604, 605, 606, 607, 610, 611, 612, 615, 616, 617, 620, 621, 622, 625, 626, 627, 628, 629, and 630.

60. A compound according to claim 46 represented by the formula:



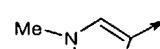
wherein R³ and R_{21A} are as defined below:

Table 7
Cpd #

701

R³

t-Bu

R_{21A}

;

702

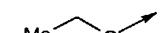
t-Bu



;

703

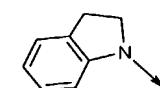
t-Bu



;

704

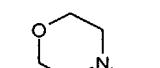
t-Bu



;

705

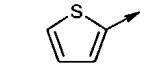
t-Bu



;

706

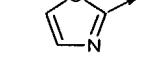
t-Bu



;

707

t-Bu



;

708

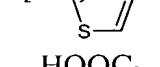
t-Bu



;

709

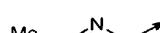
t-Bu



;

710

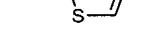
t-Bu



;

711

t-Bu



;

712

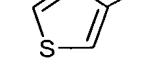
t-Bu



;

713

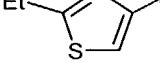
t-Bu



;

714

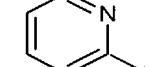
t-Bu



;

715

t-Bu



;

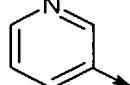
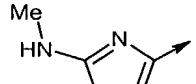
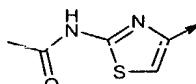
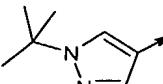
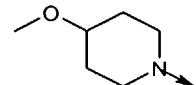
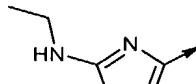
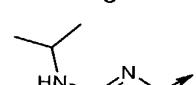
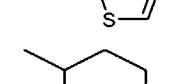
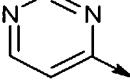
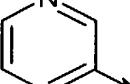
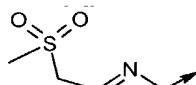
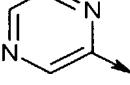
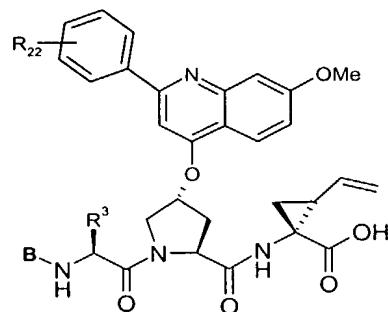
Table 7 Cpd # 716	R ³	R _{21A}	
	<i>t</i> -Bu		;
717	<i>t</i> -Bu		;
718	<i>t</i> -Bu	NH ₂	;
719	<i>t</i> -Bu		;
720	<i>t</i> -Bu		;
721	<i>t</i> -Bu		;
722	<i>t</i> -Bu		;
723	<i>t</i> -Bu		;
724	<i>t</i> -Bu		;
725	<i>t</i> -Bu		;
726	<i>t</i> -Bu	<i>i</i> -Pr	;
727	<i>t</i> -Bu		;
728	<i>t</i> -Bu		;
729	<i>t</i> -Bu		;

Table 7 Cpd #	R ³	R _{21A}	;
730	t-Bu		;
731	t-Bu		;
732	t-Bu		;
733	t-Bu		;
734	t-Bu		;
735	t-Bu		;
736	t-Bu		;
and 737	t-Bu	CHex	.

61. A compound according to claim 60, selected from the group consisting of compound #: **701, 702, 703, 704, 705, 706, 707, 708, 709, and 711 to 737.**

62. A compound according to claim 45 represented by the formula:



wherein **B**, **R³**, and **R₂₂** are as defined below:

Table 8 Cpd #	B	R ³	R ₂₂	;
801		t-Bu	--	;

Table 8
Cpd #
802

Table 8 Cpd # 802	B	R ³	R ₂₂
802		t-Bu	-- ;
803		t-Bu	-- ;
804		t-Bu	-- ;
805	Ac	t-Bu	-- ;
806		t-Bu	-- ;
807		t-Bu	-- ;
808		t-Bu	-- ;
809		i-Pr	-- ;
810		t-Bu	-- ;
811	Boc	t-Bu	4-Cl ;
812		t-Bu	-- ;
813		t-Bu	-- ;
814	Boc	t-Bu	2-Cl ;
815	Boc	t-Bu	3-Cl ;
816		t-Bu	-- ;
817		t-Bu	-- ;

Table 8
Cpd #
818

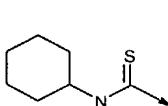
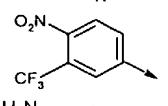
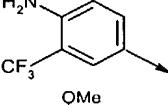
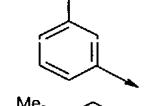
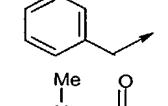
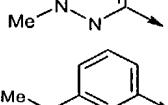
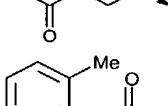
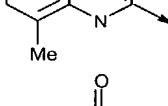
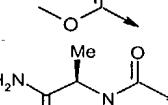
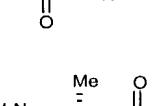
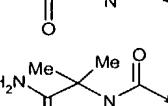
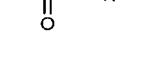
	B	R³	R₂₂	
		<i>t</i> -Bu	--	;
819		<i>i</i> -Pr	--	;
820		<i>i</i> -Pr	--	;
821		<i>i</i> -Pr	--	;
822		<i>i</i> -Pr	--	;
823	Boc	<i>t</i> -Bu	2-OMe	;
824	Boc	<i>t</i> -Bu	3-OMe	;
825	Boc	<i>t</i> -Bu	4-OMe	;
826		<i>i</i> -Pr	--	;
827		<i>t</i> -Bu	--	;
828		<i>i</i> -Pr	--	;
829		<i>t</i> -Bu	--	;
830		<i>t</i> -Bu	--	;
831		<i>t</i> -Bu	--	;
832		<i>t</i> -Bu	--	;
833		<i>t</i> -Bu	--	;

Table 8
Cpd #
834

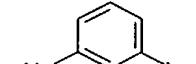
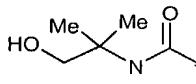
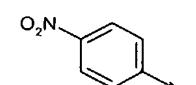
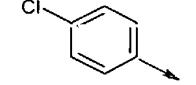
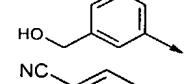
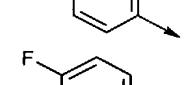
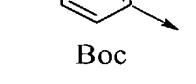
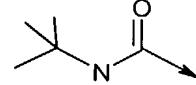
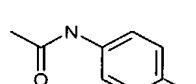
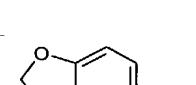
	B	R³	R₂₂	
834		<i>i</i> -Pr	--	;
835		<i>t</i> -Bu	--	;
836		<i>i</i> -Pr	--	;
837		<i>i</i> -Pr	--	;
838		<i>i</i> -Pr	--	;
839		<i>i</i> -Pr	--	;
840		<i>i</i> -Pr	--	;
841	Boc	<i>t</i> -Bu	2-Me	;
842	Boc	<i>t</i> -Bu	3-Me	;
843	Boc	<i>t</i> -Bu	4-Me	;
844		<i>t</i> -Bu	4-OMe	;
845		<i>i</i> -Pr	--	;
846		<i>i</i> -Pr	--	;
847	Boc	cHex	--	;
848	Boc		--	;
849	Boc		--	;

Table 8
Cpd #
850

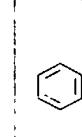
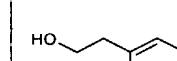
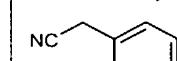
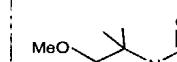
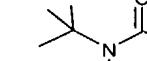
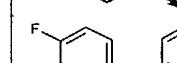
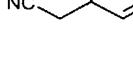
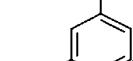
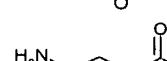
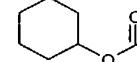
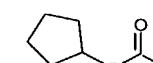
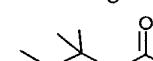
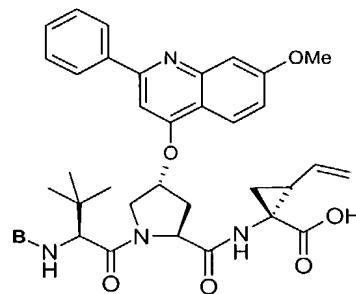
Table 8 Cpd # 850	B	R ³	R ₂₂
	Boc		-- ;
851	Boc		-- ;
852	Boc		-- ;
853	Boc		-- ;
854		<i>i</i> -Pr	-- ;
855		<i>i</i> -Pr	-- ;
856		<i>i</i> -Pr	-- ;
857		<i>t</i> -Bu	-- ;
858		<i>t</i> -Bu	-- ;
859		<i>i</i> -Pr	-- ;
860		<i>i</i> -Pr	-- ;
861		<i>i</i> -Pr	-- ;
862		<i>i</i> -Pr	-- ;
863		<i>i</i> -Pr	-- ;

Table 8
Cpd #
864

Table 8 Cpd # 864	B	R ³	R ₂₂
		<i>i</i> -Pr	-- ;
865		<i>t</i> -Bu	-- ;
866		<i>t</i> -Bu	-- ;
867		<i>t</i> -Bu	-- ;
868		<i>t</i> -Bu	-- ;
869		<i>t</i> -Bu	-- ;
870		<i>t</i> -Bu	-- ;
871		<i>t</i> -Bu	-- ;
872		<i>t</i> -Bu	-- ;
and 873		<i>t</i> -Bu	-- ;

63. A compound according to claim 62, selected from the group consisting of compound #: **801 to 825, 827 to 858, and 860 to 873.**

64. A compound according to claim 45 represented by the formula:

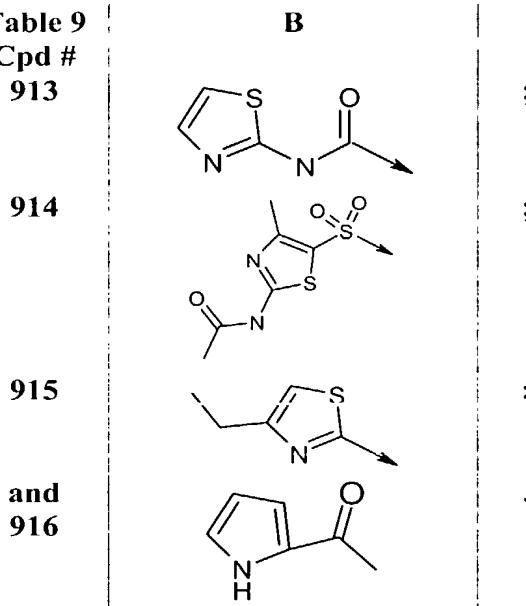


wherein **B** is as defined below:

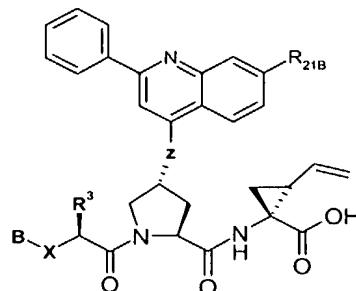
Table 9
Cpd #
901

Table 9	B	
Cpd #	Boc	;
901		;
902		;
903		;
904		;
905		;
906		;
907		;
908		;
909		;
910		;
911		;
912		;

Table 9
Cpd #
913

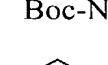


65. A compound according to claim 45 represented by the formula:



wherein \mathbf{B} , \mathbf{X} , \mathbf{R}^3 , \mathbf{z} and $\mathbf{R}_{2|B}$ are as defined below:

Table 10
Cpd #
1001
1002
and
1003

Table 10 Cpd #	B-X-	R ³	Z	R _{21B}
1001	Ph-N(Me)-	<i>i</i> -Pr	O	H;
1002	Boc-NH-	<i>t</i> -Bu	S	OMe;
and 1003		<i>i</i> -Pr	O	---

66. A pharmaceutical composition comprising an anti-hepatitis C virally effective amount of a compound of formula I according to claim 1, or a therapeutically acceptable salt or ester thereof, in admixture with a pharmaceutically acceptable

carrier medium or auxiliary agent.

67. A method of treating a hepatitis C viral infection in a mammal comprising administering to the mammal an anti-hepatitis C virally effective amount of the compound of formula I according to claim 1, or a therapeutically acceptable salt or ester thereof.

68. A method of treating a hepatitis C viral infection in a mammal comprising administering to the mammal an anti-hepatitis C virally effective amount of the composition according to claim 66.

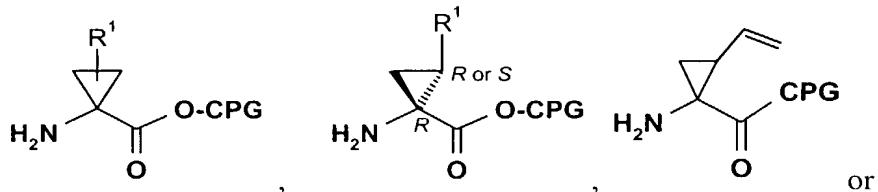
69. A method of inhibiting the replication of hepatitis C virus comprising exposing the virus to a hepatitis C viral NS3 protease inhibiting amount of the compound of formula I according to claim 1, or a therapeutically acceptable salt or ester thereof.

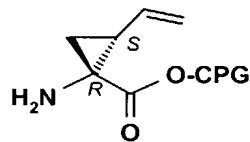
70. A method of treating a hepatitis C viral infection in a mammal comprising administering thereto an anti-hepatitis C virally effective amount of a combination of the compound of formula I according to claim 1, or a therapeutically acceptable salt or ester thereof with another anti-HCV agent.

71. A method according to claim 70, wherein said other anti-HCV agent is selected from the group consisting of: α - or β -interferon, ribavirin and amantadine.

72. A method according to claim 70, wherein said other anti-HCV agent comprises an inhibitor of other targets in the HCV life cycle, selected from: helicase, polymerase, metalloprotease or IRES.

73. A process for the preparation of a peptide analog of formula (I) according to claim 1 wherein P1 is a substituted aminocyclopropyl carboxylic acid residue, comprising the step of:
coupling a peptide selected from the group consisting of: APG-P3-P2; or APG-P2; with a P1 intermediate of formula:

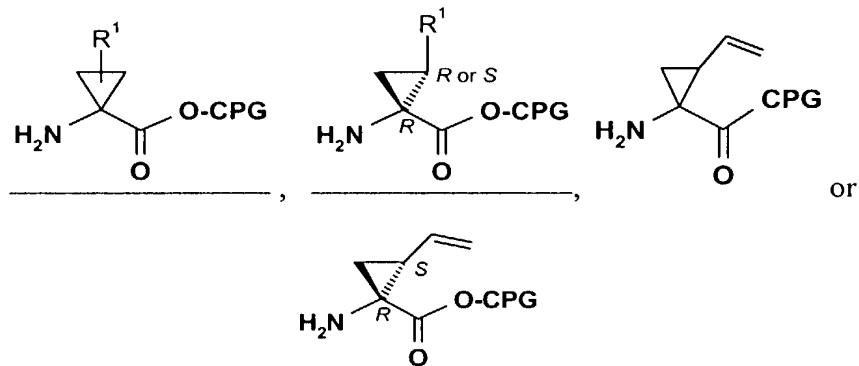




wherein \mathbf{R}^1 is C_{1-6} alkyl, cycloalkyl or C_{2-6} alkenyl, all optionally substituted with halogen, CPG is a carboxyl protecting group and APG is an amino protecting group and P3 and P2 are as defined above.

74. A process for the preparation of: a peptide analog of formula (I) according to claim 1, this process comprising the step of:

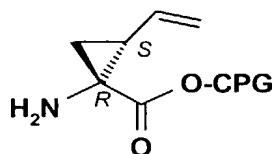
coupling a suitably protected amino acid, peptide or peptide fragment with a P1 intermediate of formula:



wherein \mathbf{R}^1 is C_{1-6} alkyl, cycloalkyl or C_{2-6} alkenyl, all optionally substituted with halogen, and CPG is a carboxyl protecting group.

75. A process for the preparation of: a peptide analog of formula (I) according to claim 1, this process comprising the step of:

coupling a suitably protected amino acid, peptide or peptide fragment with a P1 intermediate of formula:



wherein CPG is a carboxyl protecting group.

76. A process according to claim 73, 74 or 75 wherein said carboxyl protecting group (CPG) is selected from the group consisting of:

alkyl esters, aralkyl esters, and esters being cleavable by mild base treatment or mild reductive means.

77. Method of preparing a composition for treating a hepatitis C viral infection in a mammal comprising combining an anti-hepatitis C virally effective amount of the compound of formula I according to claim 1, or a therapeutically acceptable salt or ester thereof, with a pharmaceutically acceptable carrier medium or auxiliary agent.

78. Method of preparing a composition for inhibiting the replication of hepatitis C virus comprising combining a hepatitis C viral NS3 protease inhibiting amount of the compound of formula I according to claim 1, or a therapeutically acceptable salt or ester thereof, with a pharmaceutically acceptable carrier medium or auxiliary agent.

79. Method of preparing a composition for treating a hepatitis C viral infection in a mammal comprising combining an anti-hepatitis C virally effective amount of a combination of the compound of formula I according to claim 1, or a therapeutically acceptable salt or ester thereof, and an interferon with a pharmaceutically acceptable carrier medium or auxiliary agent.